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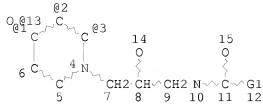


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FILE LAST UPDATED: 2 Dec 2009  (20091202/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE:  Aug 2009

CAplus now includes complete International Patent Classification (IPC)
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reclassification data for the third quarter of 2009.

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L4            2 L3

=> d bib abs hitstr 1-2

L4   ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2009 ACS on STN
AN   2003:656742  CAPLUS
DN   139:197375
TI   Preparation of piperidinyl alcohols as chemokine receptor modulators for
     treatment of diseases such as asthma
IN   Alcaraz, Lilian; Furber, Mark; Purdie, Mark; Springthorpe, Brian
PA   Astrazeneca A.B., Swed.
SO   PCT Int. Appl., 166 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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     BR 2003007477        A      20041109    BR 2003-7477           20030217
     EP 1478624           A1     20041124    EP 2003-705600         20030217
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     CN 1633414           A      20050629    CN 2003-804130         20030217
     CN 100352807         C      20071205
     JP 2005525341        T      20050825    JP 2003-567874         20030217
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     NZ 541682            A      20060526    NZ 2003-541682         20030217
     CN 1907968           A      20070207    CN 2006-10110091       20030217
     RU 2330019           C2     20080727    RU 2004-122114         20030217
     SG 149695            A1     20090227    SG 2006-5606           20030217
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     MX 2004007906        A      20041015    MX 2004-7906           20040813
     ZA 2004006509        A      20050915    ZA 2004-6509           20040816
     US 20050107428       A1     20050519    US 2004-504936         20040817
     NO 2004003899        A      20041117    NO 2004-3899           20040917
     IN 2007DN09369       A      20080215    IN 2007-DN9369         20071205
PRAI SE 2002-465          A      20020218
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SE	2002-2673	A	20020909
CN	2003-804130	A3	20030217
NZ	2003-534296	A1	20030217
WO	2003-SE258	W	20030217
IN	2004-DN2041	A3	20040715

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 139:197375; MARPAT 139:197375

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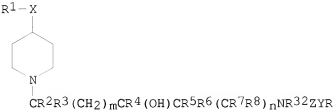


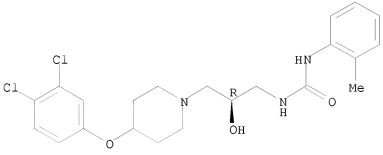
I

AB The invention provides piperidinyl alcs. (shown as I; variables defined below; e.g. N-[(2R)-3-[4-(3,4-dichlorophenoxy)piperidin-1-yl]-2-hydroxypropyl]-2-(methylsulfonyl)benzamide) for use as modulators of chemokine receptor (especially CCR3) activity for use in, for example, treating asthma. For I: X is CH2, O, S(O)2 or NR10; Y is a bond, CH2, NR35, CH2NH, CH2NHC(O), CH(OH), CH(NHCOR33), CH(NHSO2R34), CH2O or CH2S; Z is C(O), or when Y is a bond Z can also be S(O)2; R1 is (un)substituted aryl, (un)substituted heterocyclyl or C4-6 cycloalkyl fused to a benzene ring; addnl. details are given in the claims. Percent inhibition at 3 nM eotaxin of eotaxin-mediated human eosinophil chemotaxis is tabulated for 16 examples of I, e.g. 106 % for N-[(2R)-3-[4-(3,4-dichlorophenoxy)piperidin-1-yl]-2-hydroxypropyl]-1-oxo-1,2-dihydroisoquinoline-4-carboxamide. Histamine H1 receptor binding activity was determined for the same compds., e.g. pKi = 8.4 for N-[(2R)-3-[4-(3,4-dichlorophenoxy)piperidin-1-yl]-2-hydroxypropyl]-1-oxo-1,2-dihydroisoquinoline-4-carboxamide. 49 Example prepns. of intermediates and 234 of I are included. For example, to prepare N-[(2R)-3-[4-(3,4-Dichlorophenoxy)piperidin-1-yl]-2-hydroxypropyl]-2-(methylsulfonyl)benzamide (0.055 g), a mixture of 2-(methylsulfonyl)benzoic acid (0.063 g), (2R)-1-amino-3-[4-(3,4-dichlorophenoxy)piperidin-1-yl]propan-2-ol (0.1 g) and N,N-diisopropylethylamine (0.1 mL) in dry DMF (3 mL) was cooled to 0° with stirring; 2-(1H-9-azabenzotriazol-1-yl)-1,1,3,3-tetramethyluronium hexafluorophosphate (0.13 g) was added and the mixture was stirred at 0° for 1-2 h. The invention also provides a process for making 4-(3,4-dichlorophenoxy)piperidine, which is useful as an intermediate for making certain compds. of the invention. The process comprises (a) reacting 4-hydroxypiperidine with a suitable base in a suitable solvent at room temperature; and (b) heating the mixture so produced and 1,2-dichloro-4-fluorobenzene at 50-90°, or at reflux of the solvent used.

IT 583882-31-9P, 1-[(R)-3-[4-(3,4-Dichlorophenoxy)piperidin-1-yl]-2-hydroxypropyl]-3-o-tolylurea 583882-32-0P, 1-[(R)-3-[4-(3,4-Dichlorophenoxy)piperidin-1-yl]-2-hydroxypropyl]-3-p-tolylurea
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of piperidinyl alcs. as chemokine receptor

modulators for treatment of diseases such as asthma)
RN 583882-31-9 CAPLUS
CN Urea, N-[(2R)-3-[4-(3,4-dichlorophenoxy)-1-piperidinyl]-2-hydroxypropyl]-N'-(2-methylphenyl)- (CA INDEX NAME)

Absolute stereochemistry.



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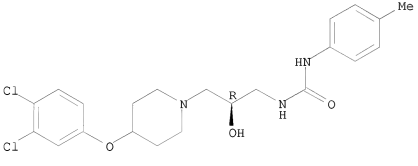
Absolute stereochemistry.



OSC.G 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)
RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2003:173585 CAPLUS
DN 138:221471
TI Preparation of piperidine derivatives as modulators of chemokine receptor activity
IN Evans, Richard; Perry, Matthew; Springthorpe, Brian
PA Astrazeneca AB, Swed.
SO PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003018556	A1	20030306	WO 2002-SE1401	20020719
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
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AU 2002321969 A1 20030310 AU 2002-321969 20020719
EP 1412330 A1 20040428 EP 2002-756046 20020719
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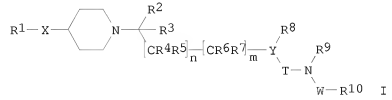
JP 2005503394 T 20050203 JP 2003-523220 20020719
US 20040176411 A1 20040909 US 2004-483138 20040108
US 7265227 B2 20070904
PRAI GB 2001-17899 A 20010723
WO 2002-SE1401 W 20020719
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 138:221471; MARPAT 138:221471
GI



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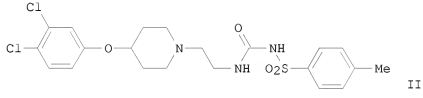


II

AB The title compds. [I; T = CO, SO2; W = CO, SO2; X = CH2, O, NH; Y = CR11, N; n = 0-2; m = 1 or, when Y = CR11, m = 0; R1 = (un)substituted aryl, heterocyclyl; R2-R8 = H, alkyl optionally substituted by OH; R9 = H, alkyl; R10 = alkyl, (un)substituted aryl, aralkyl, heterocyclyl; R11 = H, alkyl] which are modulators of chemokine (especially CCR3) activity and are especially
useful for treating asthma and/or rhinitis, were prepared and formulated. Thus, reacting 4-(3,4-dichlorophenoxy)-1-piperidineethanamine (preparation given) with 4-methylbenzenesulfonyl isocyanate in CH2C12 afforded II which was found to be an antagonist of the eotaxin mediated human eosinophil chemotaxis in calcium flux [Ca2+]i assay, and H1 antagonist when tested in Guinea-pig isolated trachea.

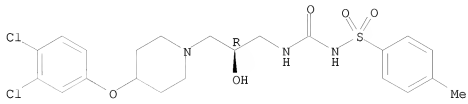
IT 500859-22-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine derivs. as modulators of chemokine receptor activity)

RN 500859-22-3 CAPLUS

CN Benzenesulfonamide, N-[[[(2R)-3-[4-(3,4-dichlorophenoxy)-1-piperidinyl]-2-

hydroxypropyl]amino]carbonyl]-4-methyl- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT